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(FILE 'HOME' ENTERED AT 13:12:56 ON 26 DEC 2007)

FILE 'REGISTRY' ENTERED AT 13:13:07 ON 26 DEC 2007

L1 SCREEN 2021 AND 1839 AND 1993
L2 STRUCTURE UPLOADED
L3 QUE L2 AND L1
L4 33 S L3
L5 STRUCTURE UPLOADED
L6 QUE L5
L7 3 S L6
L8 0 S BENZO [C] THIOPHENE/CN
L9 1 S BENZOTHIOPHENE/CN
L10 0 S BENZO [C] THIOPHENE/CN
L11 0 S BENZO THIOPHENE/CN
L12 0 S BENZO/CN AND THIOPHENE/CN
L13 0 S BENZO [B] THIOPHENE/CN
L14 0 S BENZOBTHIOPHENE/CN
L15 0 S BENZO [B] THIOPHENE/IN
L16 0 S BENZO [B] THIOPHENE/IN
L17 0 S "BENZO [B] THIOPHENE"/IN
L18 0 S "BENZO [B] THIOPHENE"/CN
L19 0 S "BENZO [C] THIOPHENE"/CN
L20 59 S C8H6S/MF
L21 30 S L20 AND BENZO
L22 37 S L20 AND THIOPHENE
L23 29 S L21 AND THIOPHENE
L24 0 S L23 AND COM/CI
L25 4367 S "BENZO [C] THIOPHENE"
L26 0 S "BENZO [C] THIOPHENE"/CN
L27 3 S L6
L28 2197 S L6 FULL
L29 247152 S THIAZOLE
L30 1 S THIAZOLE/CN
L31 1 S BENZOTHIAZOLE/CN
L32 1 S ISOTHIAZOLE/CN
L33 97129 S 333.246.11/RID
L34 708 S 333.94.7/RID
L35 287013 S 16.299.11/RID
L36 229721 S 333.521.14/RID
L37 15607 S 16.171.9/RID
L38 38 S L33 AND L28
L39 0 S L34 AND L28
L40 88 S L35 AND L28
L41 22 S L36 AND L28
L42 1 S L37 AND L28
L43 149 S L38 OR L39 OR L40 OR L41 OR L42

=> file caplus

FILE 'CAPLUS' ENTERED AT 13:35:38 ON 26 DEC 2007

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FILE LAST UPDATED: 25 Dec 2007 (20071225/ED)

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L44 31 L43

NSWER 12 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN

2006:75104 Document No. 144:170886 Preparation of dimeric compounds of piperidine, piperazine or morpholine or their 7-membered analogs suitable for the treatment of neurodegenerative disorders. Cik, Miroslav; Diels, Gaston Stanislas Marcella; Van Lommen, Guy Rosalia Eugene (Janssen Pharmaceutica N.V., Belg.). PCT Int. Appl. WO 2006008259 A1 20060126, 51 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IS, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2005-EP53345 20050713. PRIORITY: US 2004-588446P 20040716; EP 2004-103413 20040716.

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [n = 1 or 2; m = 0-3; Z = CH, CH₂, N, or O; X = (un)substituted alkynyl, alkyl, etc.; R₁ and R₂ independently = H, alkyl, alkylcarbonyl, heterocycle, etc.; or R₁ and R₂ taken together form a (un)substituted heterocycle; R₃ independently = H or alkoxy], the N-oxide forms and the pharmaceutically acceptable addition salts, are prepared and disclosed as suitable for treatment of neurodegenerative disorders. Thus, e.g., II was prepared by deprotection of III (preparation given) followed by reaction with 1,4-dichloro-2-butyne. Neuron viability assays were conducted using calcein-AM, e.g., II was determined to possess a pIC₅₀ value from 6-8. Pharmaceutical formulations are claimed.

IT 874535-94-1P

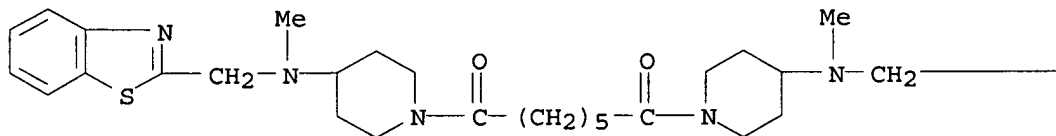
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

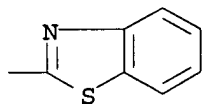
(preparation of dimeric compds. of piperidine, piperazine or morpholine or their 7-membered analogs suitable for treatment of neurodegenerative disorders)

RN 874535-94-1 CAPLUS

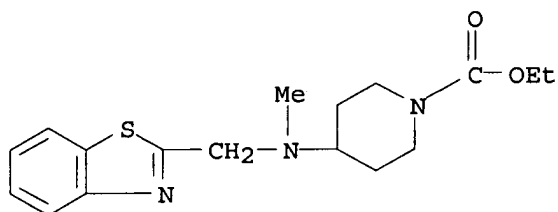
CN 4-Piperidinamine, 1,1'-(1,7-dioxo-1,7-heptanediyl)bis[N-(2-benzothiazolylmethyl)-N-methyl- (9CI) (CA INDEX NAME)

PAGE 1-A

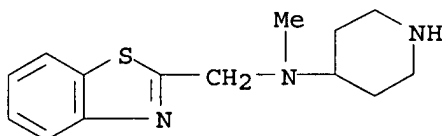




IT 874536-37-5P 874536-38-6P 874536-48-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of dimeric compds. of piperidine, piperazine or morpholine or
 their 7-membered analogs suitable for treatment of neurodegenerative
 disorders)
 RN 874536-37-5 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[(2-benzothiazolylmethyl)methylamino]-,
 ethyl ester (CA INDEX NAME)

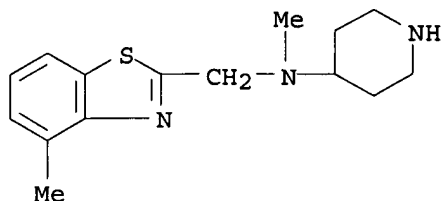


RN 874536-38-6 CAPLUS
 CN 2-Benzothiazolemethanamine, N-methyl-N-4-piperidinyl-, dihydrochloride
 (9CI) (CA INDEX NAME)



●2 HCl

RN 874536-48-8 CAPLUS
 CN 2-Benzothiazolemethanamine, N,4-dimethyl-N-4-piperidinyl-, dihydrochloride
 (9CI) (CA INDEX NAME)

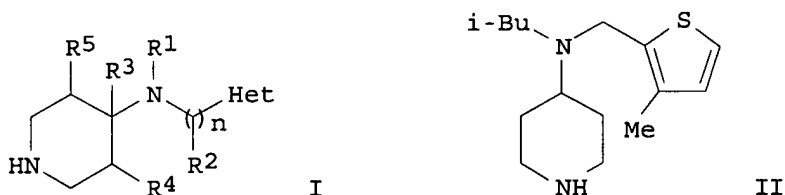


●2 HCl

L44 ANSWER 13 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN

2005:1075791 Document No. 143:367217 Preparation of 4-aminopiperidine derivatives as monoamine uptake inhibitors. Boulet, Serge Louis; Clark, Barry Peter; Fairhurst, John; Gallagher, Peter Thaddeus; Johansson, Anette Margareta; Whatton, Maria Ann; Wood, Virginia Ann (Eli Lilly and Company, USA). PCT Int. Appl. WO 2005092885 A1 20051006, 119 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IS, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2005-US4174 20050211. PRIORITY: US 2004-548679P 20040227.

GI



AB Title compds. I [$n = 1-3$; R_1 = alkyl, alkenyl, cycloalkyl, etc.; R_2-3 = H, alkyl; R_4-5 = H, halo, OH, CN, etc.; Het = 5-6 membered monocyclic heteroarom. group, etc. with several exclusions] are prepared For instance, II is prepared in 3 steps from 1-(tert-butoxycarbonyl)-4-piperidinone, isobutylamine and the corresponding heterocyclic aldehyde which is isolated as the fumarate salt. I exhibit a $K_i < 500$ nM at one or more of the serotonin, norepinephrine and dopamine transporter proteins. I are useful in the treatment of disorders of the central and/or peripheral nervous system.

IT 866328-12-3P 866328-18-9P 866328-22-5P
866328-34-9P 866328-38-3P 866328-63-4P
866328-65-6P 866328-72-5P 866328-76-9P
866328-81-6P 866328-82-7P 866328-84-9P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

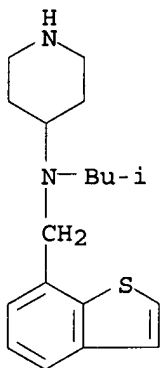
(preparation of 4-aminopiperidine derivs. as serotonin, dopamine and/or

Print selected from Online session

norepinephrine uptake inhibitors)

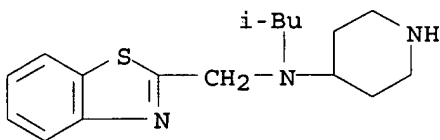
RN 866328-12-3 CAPLUS

CN 4-Piperidinamine, N-(benzo[b]thien-7-ylmethyl)-N-(2-methylpropyl)- (CA INDEX NAME)



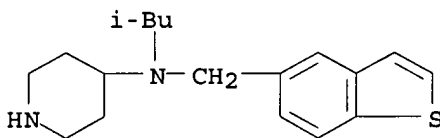
RN 866328-18-9 CAPLUS

CN 2-Benzothiazolemethanamine, N-(2-methylpropyl)-N-4-piperidinyl- (CA INDEX NAME)



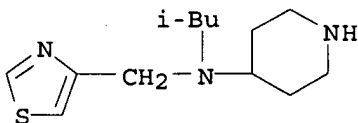
RN 866328-22-5 CAPLUS

CN 4-Piperidinamine, N-(benzo[b]thien-5-ylmethyl)-N-(2-methylpropyl)- (CA INDEX NAME)



RN 866328-34-9 CAPLUS

CN 4-Piperidinamine, N-(2-methylpropyl)-N-(4-thiazolylmethyl)- (CA INDEX NAME)

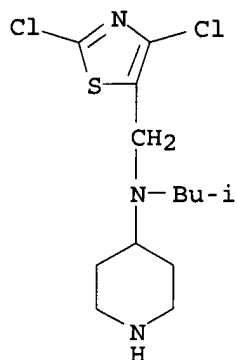


RN 866328-38-3 CAPLUS

CN 4-Piperidinamine, N-[(2,4-dichloro-5-thiazolyl)methyl]-N-(2-methylpropyl)-

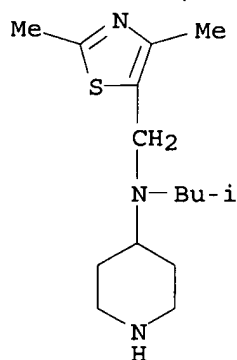
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(CA INDEX NAME)



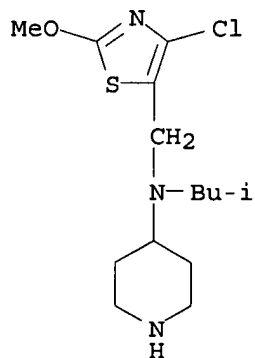
RN 866328-63-4 CAPLUS

CN 4-Piperidinamine, N-[(2,4-dimethyl-5-thiazolyl)methyl]-N-(2-methylpropyl)-
(CA INDEX NAME)



RN 866328-65-6 CAPLUS

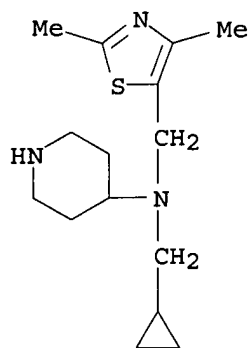
CN 4-Piperidinamine, N-[(4-chloro-2-methoxy-5-thiazolyl)methyl]-N-(2-methylpropyl)-
(CA INDEX NAME)



RN 866328-72-5 CAPLUS

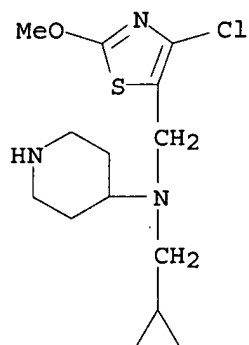
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CN 4-Piperidinamine, N-(cyclopropylmethyl)-N-[(2,4-dimethyl-5-thiazolyl)methyl]- (CA INDEX NAME)



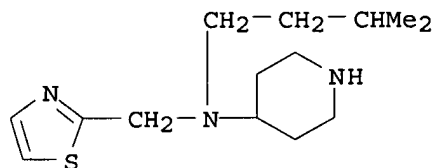
RN 866328-76-9 CAPLUS

CN 4-Piperidinamine, N-[(4-chloro-2-methoxy-5-thiazolyl)methyl]-N-(cyclopropylmethyl)- (CA INDEX NAME)



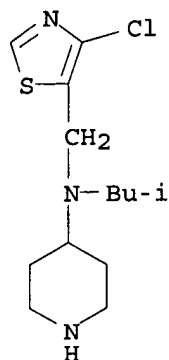
RN 866328-81-6 CAPLUS

CN 4-Piperidinamine, N-(3-methylbutyl)-N-(2-thiazolylmethyl)- (CA INDEX NAME)



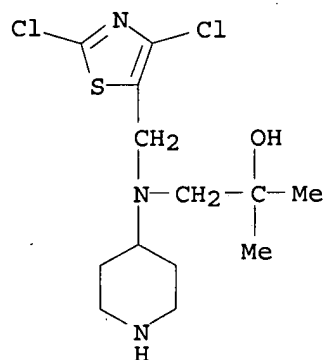
RN 866328-82-7 CAPLUS

CN 4-Piperidinamine, N-[(4-chloro-5-thiazolyl)methyl]-N-(2-methylpropyl)- (CA INDEX NAME)



RN 866328-84-9 CAPLUS

CN 2-Propanol, 1-[[[(2,4-dichloro-5-thiazolyl)methyl]-4-piperidinylamino]-2-methyl- (CA INDEX NAME)



IT 866327-99-3P 866328-01-0P 866328-13-4P
 866328-19-0P 866328-23-6P 866328-24-7P
 866328-35-0P 866328-39-4P 866328-51-0P
 866328-64-5P 866328-66-7P 866328-68-9P
 866328-69-0P 866328-75-8P 866328-77-0P
 866328-80-5P 866328-83-8P 866328-85-0P
 866329-20-6P 866330-65-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4-aminopiperidine derivs. as serotonin, dopamine and/or norepinephrine uptake inhibitors)

RN 866327-99-3 CAPLUS

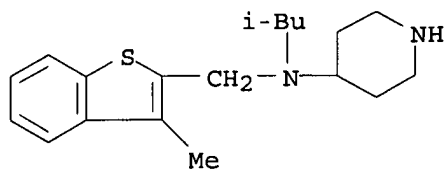
CN 4-Piperidinamine, N-[(3-methylbenzo[b]thien-2-yl)methyl]-N-(2-methylpropyl)-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 866327-98-2

CMF C19 H28 N2 S

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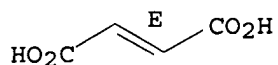


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



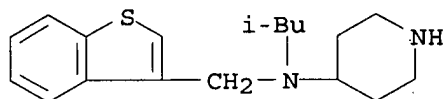
RN 866328-01-0 CAPLUS

CN 4-Piperidinamine, N-(benzo[b]thien-3-ylmethyl)-N-(2-methylpropyl)-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 866328-00-9

CMF C18 H26 N2 S

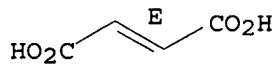


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



RN 866328-13-4 CAPLUS

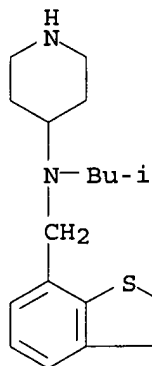
CN 4-Piperidinamine, N-(benzo[b]thien-7-ylmethyl)-N-(2-methylpropyl)-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 866328-12-3

CMF C18 H26 N2 S

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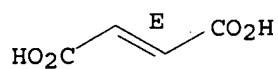


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



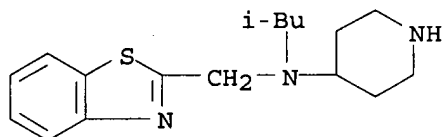
RN 866328-19-0 CAPLUS

CN 2-Benzothiazolemethanamine, N-(2-methylpropyl)-N-4-piperidinyl-,
(2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 866328-18-9

CMF C17 H25 N3 S

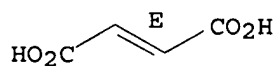


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



RN 866328-23-6 CAPLUS

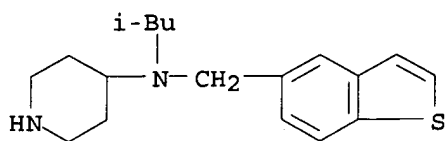
CN 4-Piperidinamine, N-(benzo[b]thien-5-ylmethyl)-N-(2-methylpropyl)-,
(2E)-2-butenedioate (1:1) (CA INDEX NAME)

Print selected from Online session

CM 1

CRN 866328-22-5

CMF C18 H26 N2 S

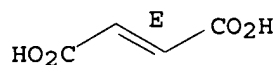


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



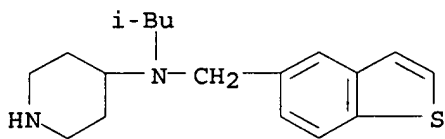
RN 866328-24-7 CAPLUS

CN 4-Piperidinamine, N-(benzo[b]thien-5-ylmethyl)-N-(2-methylpropyl)-, (2R,3R)-2,3-dihydroxybutanedioate (2:1) (CA INDEX NAME)

CM 1

CRN 866328-22-5

CMF C18 H26 N2 S

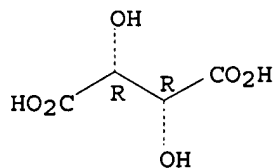


CM 2

CRN 87-69-4

CMF C4 H6 O6

Absolute stereochemistry.



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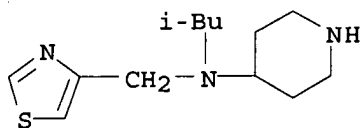
RN 866328-35-0 CAPLUS

CN 4-Piperidinamine, N-(2-methylpropyl)-N-(4-thiazolylmethyl)-,
(2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 866328-34-9

CMF C13 H23 N3 S

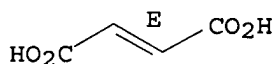


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



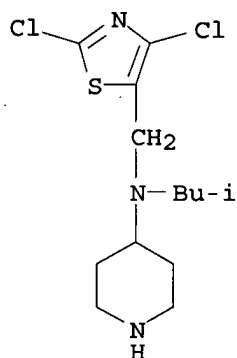
RN 866328-39-4 CAPLUS

CN 4-Piperidinamine, N-[(2,4-dichloro-5-thiazolyl)methyl]-N-(2-methylpropyl)-,
(2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 866328-38-3

CMF C13 H21 Cl2 N3 S



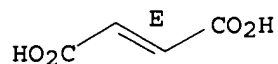
CM 2

CRN 110-17-8

CMF C4 H4 O4

Print selected from Online session

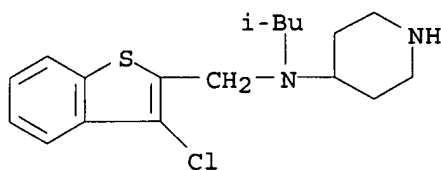
Double bond geometry as shown.



RN 866328-51-0 CAPLUS
CN 4-Piperidinamine, N-[(3-chlorobenzo[b]thien-2-yl)methyl]-N-(2-methylpropyl)-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

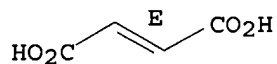
CRN 866328-50-9
CMF C18 H25 Cl N2 S



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

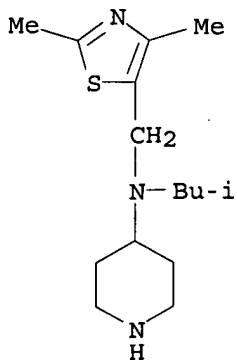


RN 866328-64-5 CAPLUS
CN 4-Piperidinamine, N-[(2,4-dimethyl-5-thiazolyl)methyl]-N-(2-methylpropyl)-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 866328-63-4
CMF C15 H27 N3 S

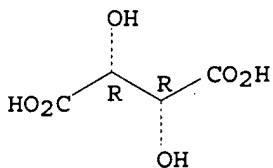
Print selected from Online session



CM 2

CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.

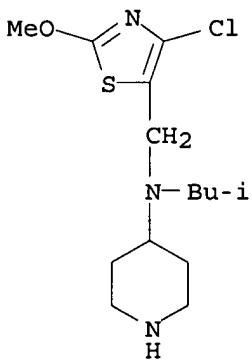


RN 866328-66-7 CAPLUS

CN 4-Piperidinamine, N-[(4-chloro-2-methoxy-5-thiazolyl)methyl]-N-(2-methylpropyl)-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 866328-65-6
CMF C14 H24 Cl N3 O S

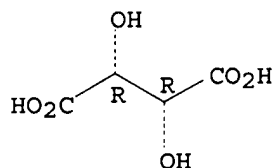


CM 2

Print selected from Online session

CRN 87-69-4
CMF C4 H6 O6

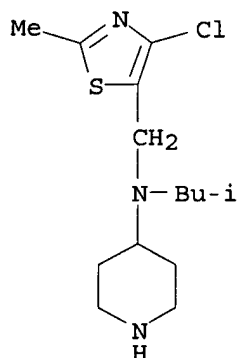
Absolute stereochemistry.



RN 866328-68-9 CAPLUS
CN 4-Piperidinamine, N-[(4-chloro-2-methyl-5-thiazolyl)methyl]-N-(2-methylpropyl)-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (CA INDEX NAME)

CM 1

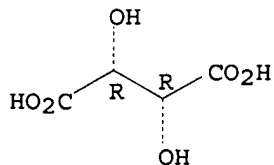
CRN 866328-67-8
CMF C14 H24 Cl N3 S



CM 2

CRN 87-69-4
CMF C4 H6 O6

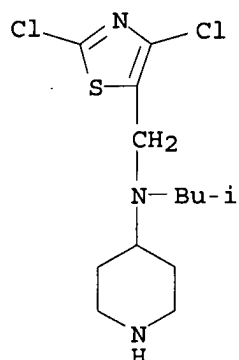
Absolute stereochemistry.



RN 866328-69-0 CAPLUS
CN 4-Piperidinamine, N-[(2,4-dichloro-5-thiazolyl)methyl]-N-(2-methylpropyl)-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (CA INDEX NAME)

CM 1

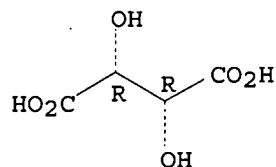
CRN 866328-38-3
CMF C13 H21 Cl2 N3 S



CM 2

CRN 87-69-4
CMF C4 H6 O6

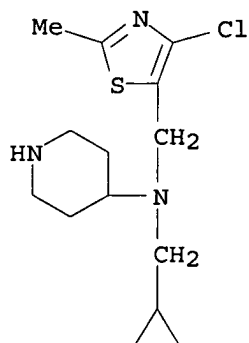
Absolute stereochemistry.



RN 866328-75-8 CAPLUS
CN 4-Piperidinamine, N-[(4-chloro-2-methyl-5-thiazolyl)methyl]-N-(cyclopropylmethyl)-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 866328-74-7
CMF C14 H22 Cl N3 S

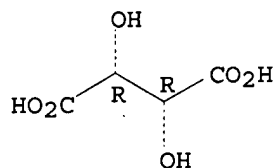


Print selected from Online session

CM 2

CRN 87-69-4
CMF C4 H6 O6

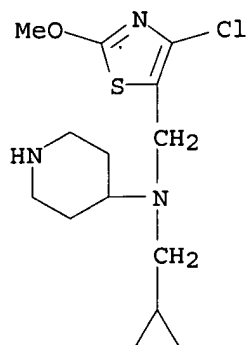
Absolute stereochemistry.



RN 866328-77-0 CAPLUS
CN 4-Piperidinamine, N-[(4-chloro-2-methoxy-5-thiazolyl)methyl]-N-(cyclopropylmethyl)-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (CA INDEX NAME)

CM 1

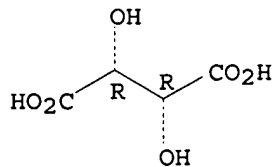
CRN 866328-76-9
CMF C14 H22 Cl N3 O S



CM 2

CRN 87-69-4
CMF C4 H6 O6

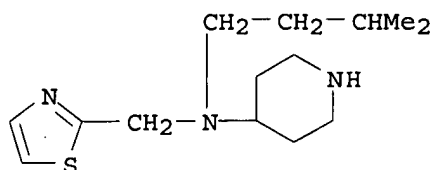
Absolute stereochemistry.



RN 866328-80-5 CAPLUS
CN 4-Piperidinamine, N-(3-methylbutyl)-N-(2-thiazolylmethyl)-,

Print selected from Online session

dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

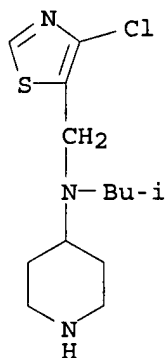
RN 866328-83-8 CAPLUS

CN 4-Piperidinamine, N-[(4-chloro-5-thiazolyl)methyl]-N-(2-methylpropyl)-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 866328-82-7

CMF C13 H22 Cl N3 S

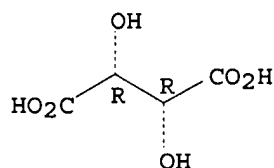


CM 2

CRN 87-69-4

CMF C4 H6 O6

Absolute stereochemistry.



RN 866328-85-0 CAPLUS

CN 2-Propanol, 1-[[[(2,4-dichloro-5-thiazolyl)methyl]-4-piperidinylamino]-2-methyl-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

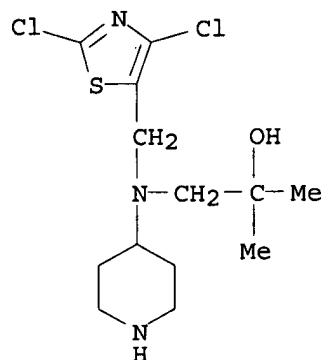
Print selected from Online session

NAME)

CM 1

CRN 866328-84-9

CMF C13 H21 Cl2 N3 O S

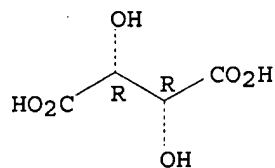


CM 2

CRN 87-69-4

CMF C4 H6 O6

Absolute stereochemistry.



RN 866329-20-6 CAPLUS

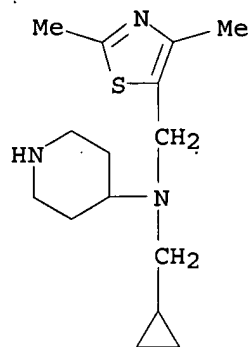
CN 4-Piperidinamine, N-(cyclopropylmethyl)-N-[(2,4-dimethyl-5-thiazolyl)methyl]-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 866328-72-5

CMF C15 H25 N3 S

Print selected from Online session

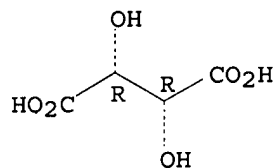


CM 2

CRN 87-69-4

CMF C4 H6 O6

Absolute stereochemistry.



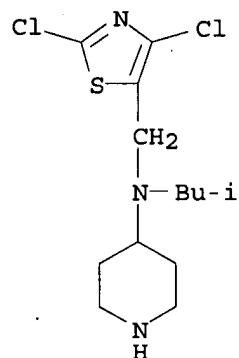
RN 866330-65-6 CAPLUS

CN 4-Piperidinamine, N-[(2,4-dichloro-5-thiazolyl)methyl]-N-(2-methylpropyl)-, (2R,3R)-2,3-dihydroxybutanedioate (1:1), hydrate (9CI) (CA INDEX NAME)

CM 1

CRN 866328-38-3

CMF C13 H21 Cl2 N3 S

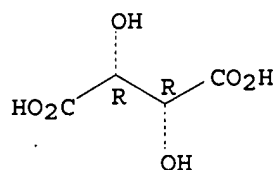


CM 2

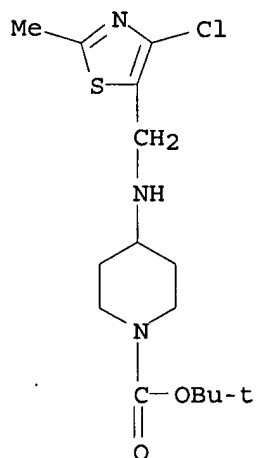
CRN 87-69-4

CMF C4 H6 O6

Absolute stereochemistry.

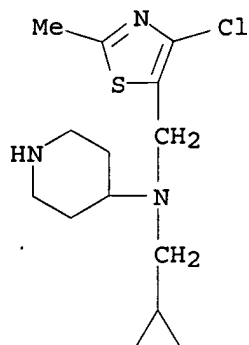


IT 866329-21-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 4-aminopiperidine derivs. as serotonin, dopamine and/or
norepinephrine uptake inhibitors)
RN 866329-21-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[(4-chloro-2-methyl-5-
thiazolyl)methyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)



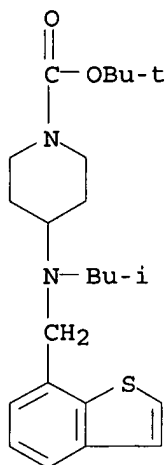
IT 866328-74-7P 866328-87-2P 866328-89-4P
866328-90-7P 866328-93-0P 866328-94-1P
866329-01-3P 866329-02-4P 866329-05-7P
866329-06-8P 866329-10-4P 866329-11-5P
866329-12-6P 866329-13-7P 866329-15-9P
866329-16-0P 866329-17-1P 866329-18-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of 4-aminopiperidine derivs. as serotonin, dopamine and/or
norepinephrine uptake inhibitors)
RN 866328-74-7 CAPLUS
CN 4-Piperidinamine, N-[(4-chloro-2-methyl-5-thiazolyl)methyl]-N-
(cyclopropylmethyl)- (CA INDEX NAME)

Print selected from Online session



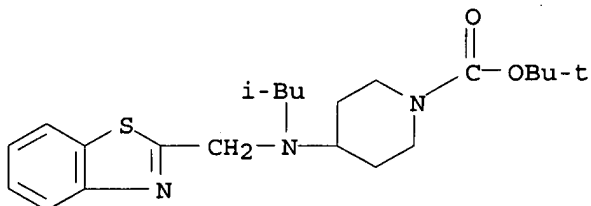
RN 866328-87-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[(benzo[b]thien-7-ylmethyl)(2-methylpropyl)amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)



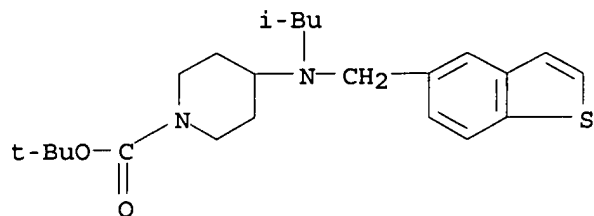
RN 866328-89-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[(2-benzothiazolylmethyl)(2-methylpropyl)amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)



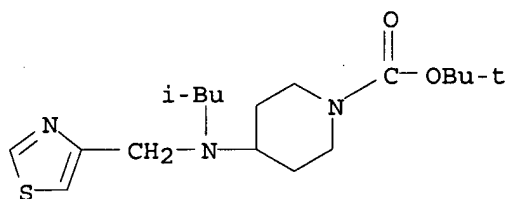
RN 866328-90-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[(benzo[b]thien-5-ylmethyl)(2-methylpropyl)amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)



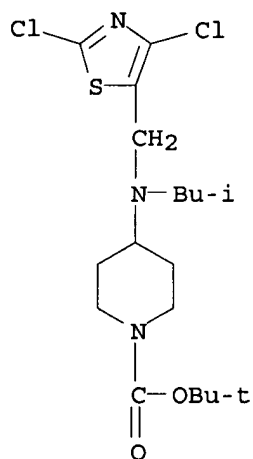
RN 866328-93-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[(2-methylpropyl)(4-thiazolylmethyl)amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 866328-94-1 CAPLUS

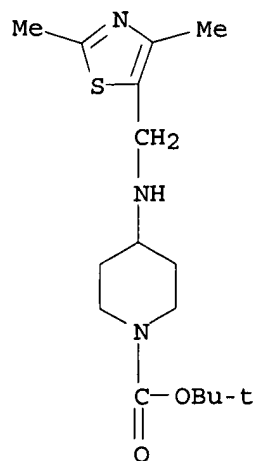
CN 1-Piperidinecarboxylic acid, 4-[[[(2,4-dichloro-5-thiazolyl)methyl](2-methylpropyl)amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 866329-01-3 CAPLUS

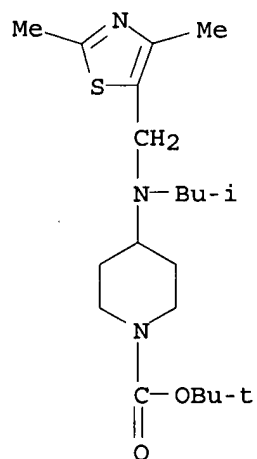
CN 1-Piperidinecarboxylic acid, 4-[[[(2,4-dimethyl-5-thiazolyl)methyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Print selected from Online session



RN 866329-02-4 CAPLUS

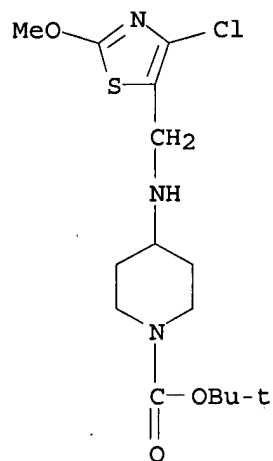
CN 1-Piperidinecarboxylic acid, 4-[[[(2,4-dimethyl-5-thiazolyl)methyl] (2-methylpropyl)amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 866329-05-7 CAPLUS

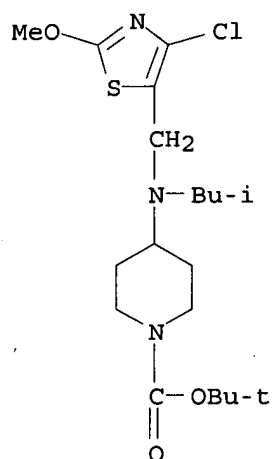
CN 1-Piperidinecarboxylic acid, 4-[[[(4-chloro-2-methoxy-5-thiazolyl)methyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Print selected from Online session



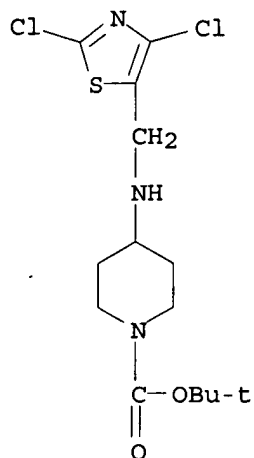
RN 866329-06-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(4-chloro-2-methoxy-5-thiazolyl)methyl] (2-methylpropyl)amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)



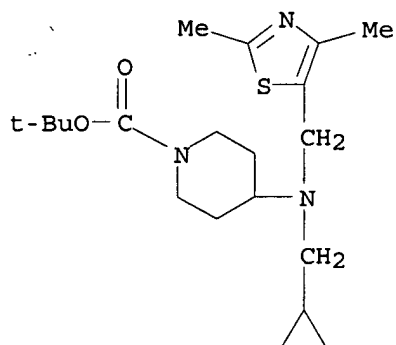
RN 866329-10-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(2,4-dichloro-5-thiazolyl)methyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)



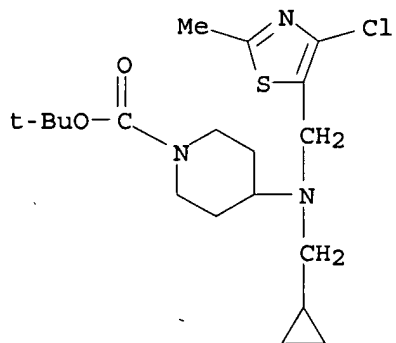
RN 866329-11-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[(cyclopropylmethyl)[(2,4-dimethyl-5-thiazolyl)methyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 866329-12-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(4-chloro-2-methyl-5-thiazolyl)methyl](cyclopropylmethyl)amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

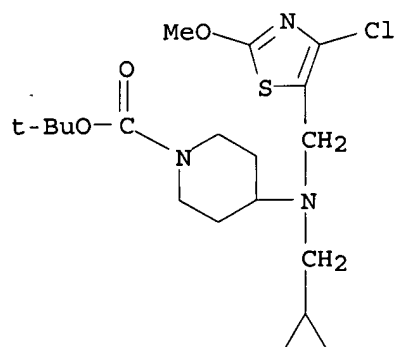


RN 866329-13-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(4-chloro-2-methoxy-5-

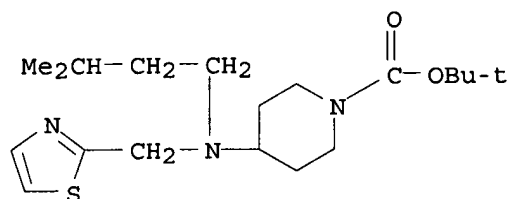
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thiazolyl)methyl] (cyclopropylmethyl)amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)



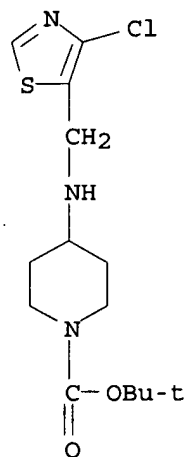
RN 866329-15-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[(3-methylbutyl)(2-thiazolylmethyl)amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)



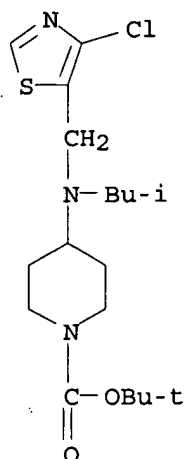
RN 866329-16-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[4-chloro-5-thiazolyl)methyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)



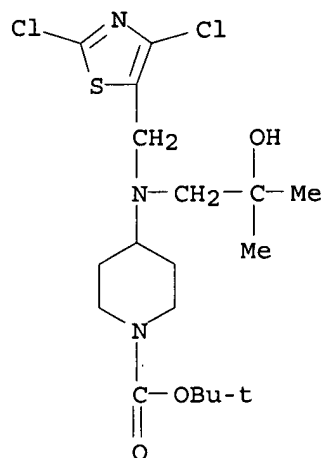
RN 866329-17-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[4-chloro-5-thiazolyl)methyl] (2-methylpropyl)amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 866329-18-2 CAPLUS

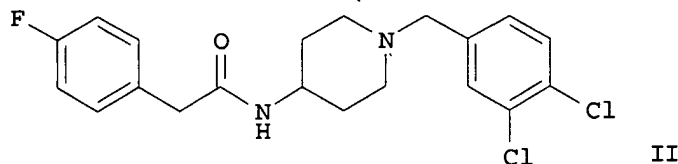
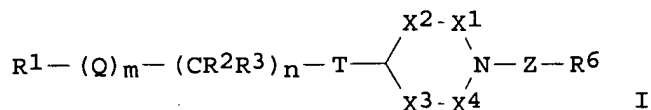
CN 1-Piperidinecarboxylic acid, 4-[[[(2,4-dichloro-5-thiazolyl)methyl](2-hydroxy-2-methylpropyl)amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)



19 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN

2003:44146 Document No. 138:73178 Preparation and pharmaceutical combinations of [(hetero)arylalkyl]piperidinyl amine, amide, or carbamate CCR3 antagonists for treatment of asthma, allergic disease, or inflammation. Bahl, Ash; Perry, Matthew; Springthorpe, Brian (Astrazeneca AB, Swed.). Brit. UK Pat. Appl. GB 2373186 A 20020918, 91 pp. (English). CODEN: BAXXDU. APPLICATION: GB 2001-4534 20010223.

GI



AB Title compds. I [wherein Z = CR⁴R⁵, CO, or CR⁴R⁵Z¹; Z¹ = alkylene, alkenylene, or CONH; R¹ = (un)substituted alkyl, alkenyl, (hetero)cycloalkyl, or (hetero)aryl; Q = O, S, NR⁹, CO, CONR⁹, NR⁹CO, or CH=CH; m = 0-1; n = 0-6 with the proviso that when n = 0; then m = 0; R² and R³ = independently H or alkyl; or CR²R³ = (alkyl)cycloalkyl; T = NR¹⁰, CONR¹⁰, NR¹¹CONR¹⁰, or CONR¹⁰R¹¹; X¹-X⁴ = independently CH₂CHR¹² or CO; R⁴ and R⁵ = independently H or alkyl; R⁶ = (un)substituted (hetero)aryl; R⁹-R¹¹ = independently H, alkyl, haloalkyl, hydroxyalkyl, cycloalkyl(alkyl), or phenylalkyl; R¹² = independently (cyclo)alkyl or CO; or R¹² groups of X¹ and X³ or X⁴, or X² and X³ or X⁴ join to form CH₂CH₂, CH₂CH₂CH₂, CH₂OCH₂, or CH₂SCH₂; or pharmaceutically acceptable salts or solvates thereof] were prepared as cysteine-cysteine chemokine receptor 3 (CCR3) antagonists for use in pharmaceutical combinations with a histamine antagonist, steroid, leukotriene modulator, human cytokine, β-agonist, phosphodiesterase inhibitor, or antibody (no data). For example, 1-(3,4-dichlorobenzyl)-4-piperidinamine•2CF₃CO₂H was condensed with 2-(4-fluorophenyl)acetic acid to give N-[1-(3,4-dichlorobenzyl)-4-piperidinyl]-2-(4-fluorophenyl)acetamide (II). I are useful in combination therapy for the treatment of asthma, rhinitis, and other allergic or inflammatory conditions (no data).

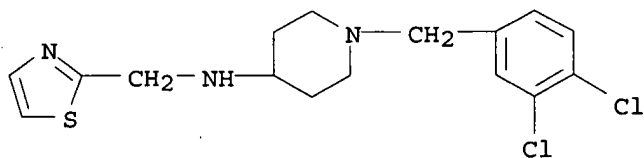
IT 328082-17-3, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-N-(1,3-thiazol-2-ylmethyl)amine

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(CCR3 antagonist; preparation and pharmaceutical combinations of [(hetero)arylalkyl]piperidinyl amine, amide, or carbamate CCR3 antagonists for treatment of asthma, allergic disease, or inflammation)

RN 328082-17-3 CAPLUS

CN 4-Piperidinamine, 1-[(3,4-dichlorophenyl)methyl]-N-(2-thiazolylmethyl)- (CA INDEX NAME)

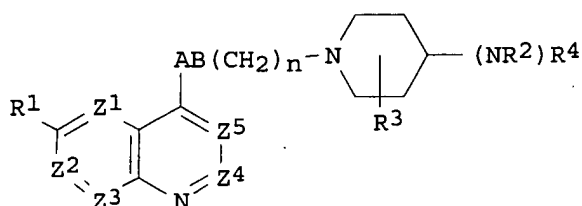


CAPLUS COPYRIGHT 2007 ACS on STN

2002:90042 Document No. 136:151082 Preparation of aminopiperidine quinolines and their azaisosteric analogs having antibacterial activity. Davies, David Thomas; Jones, Graham Elgin; Lightfoot, Andrew P.; Markwell, Roger

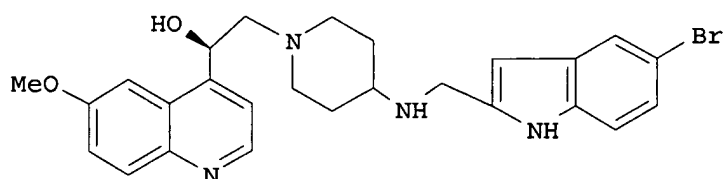
Edward; Pearson, Neil David. (Smithkline Beecham P.L.C., UK). PCT Int. Appl. WO 2002008224 A1 20020131, 80 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2001-EP8604 20010725. PRIORITY: GB 2000-18351 20000726; GB 2001-1629 20010122.

GI



I

dioxalate



II

AB Aminopiperidine quinoline compds. I (Z1-Z5 = one is N, one (or two independently are) CR1a and the remainder are CH; R1 and R1a = independently are H, OH, NH2, CONH2, halogen, (un)substituted S and SO2, (un)substituted alkyl and alkoxy, etc.; R2 = H, (un)substituted alkyl or alkenyl; R3 = H, CO2H, (un)substituted amino, etc.; R4 = CO, SO2, CH2 attached to an optionally substituted bicyclic, carbocyclic or heterocyclic ring system; n = 0-1; AB = substituted N or C), their salts and pharmaceutically acceptable derivs. were prepared and found to be useful in treating bacterial infections in mammals, especially humans. Thus II was prepared from 4-amino-1-[2-(R)-hydroxy-2-(6-methoxyquinolin-4-yl)]ethylpiperidine and 5-bromo-1H-indole-2-carboxaldehyde and was determined to have an MIC less than or equal to 32µg/mL against one or more of gram pos. and neg. bacteria such as S. aureus Oxford and WCUH29 and S. pneumoniae 1629, N1387 and ERY 2.

IT 394222-69-6P 394223-24-6P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

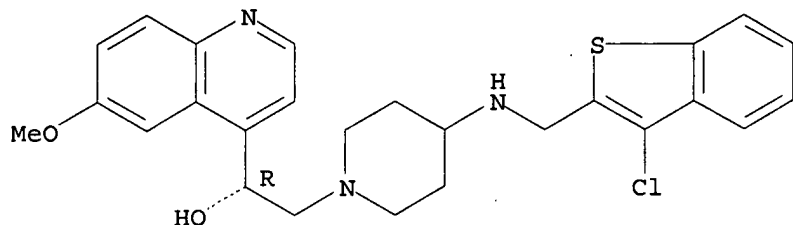
(preparation of aminopiperidine quinolines and their azaisosteric analogs having antibacterial activity)

RN 394222-69-6 CAPLUS

Print selected from Online session

CN 4-Quinolinemethanol, α -[[4-[[[(3-chlorobenzo[b]thien-2-yl)methyl]amino]-1-piperidinyl]methyl]-6-methoxy-, (α R)- (CA INDEX NAME)

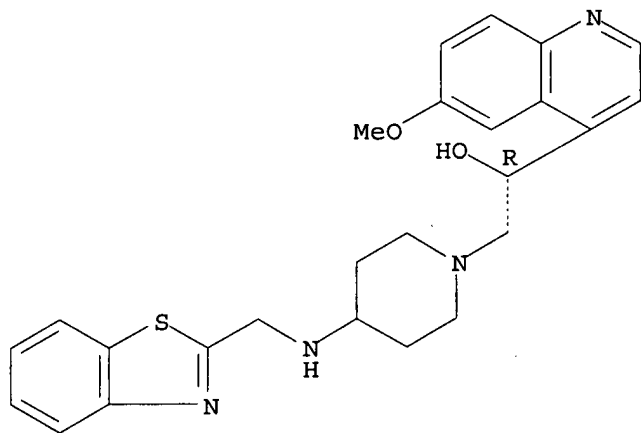
Absolute stereochemistry.



RN 394223-24-6 CAPLUS

CN 4-Quinolinemethanol, α -[[4-[(2-benzothiazolylmethyl)amino]-1-piperidinyl]methyl]-6-methoxy-, (α R)- (CA INDEX NAME)

Absolute stereochemistry.



IT 394222-70-9P 394222-88-9P 394223-25-7P

394223-39-3P 394223-85-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminopiperidine quinolines and their azaisosteric analogs having antibacterial activity)

RN 394222-70-9 CAPLUS

CN 4-Quinolinemethanol, α -[[4-[[[(3-chlorobenzo[b]thien-2-yl)methyl]amino]-1-piperidinyl]methyl]-6-methoxy-, (α R)-, ethanedioate (1:2) (salt) (9CI) (CA INDEX NAME)

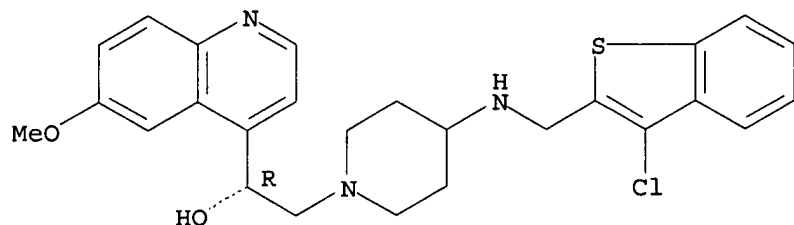
CM 1

CRN 394222-69-6

CMF C26 H28 Cl N3 O2 S

Absolute stereochemistry.

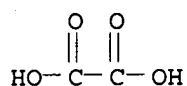
Print selected from Online session



CM 2

CRN 144-62-7

CMF C2 H2 O4



RN 394222-88-9 CAPLUS

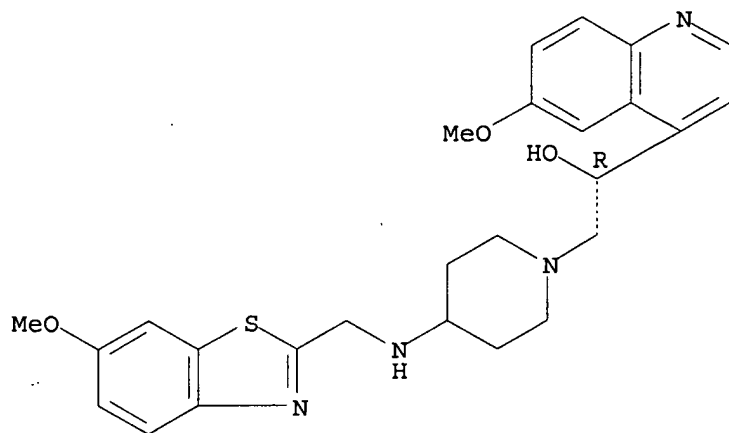
CN 4-Quinolinemethanol, 6-methoxy- α -[[4-[[[6-methoxy-2-benzothiazolyl)methyl]amino]-1-piperidinyl)methyl]-, (α R)-, ethanedioate (1:2) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 394222-87-8

CMF C26 H30 N4 O3 S

Absolute stereochemistry.

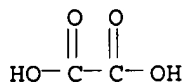


CM 2

CRN 144-62-7

CMF C2 H2 O4

Print selected from Online session



RN 394223-25-7 CAPLUS

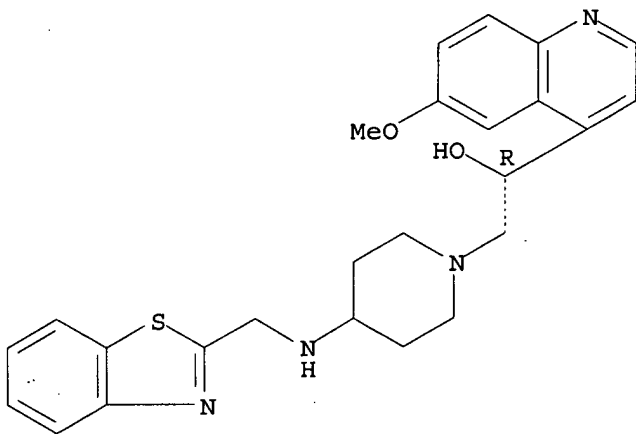
CN 4-Quinolinemethanol, α -[[4-[(2-benzothiazolylmethyl)amino]-1-piperidinyl]methyl]-6-methoxy-, (α R)-, ethanedioate (1:2) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 394223-24-6

CMF C25 H28 N4 O2 S

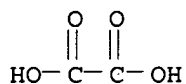
Absolute stereochemistry.



CM 2

CRN 144-62-7

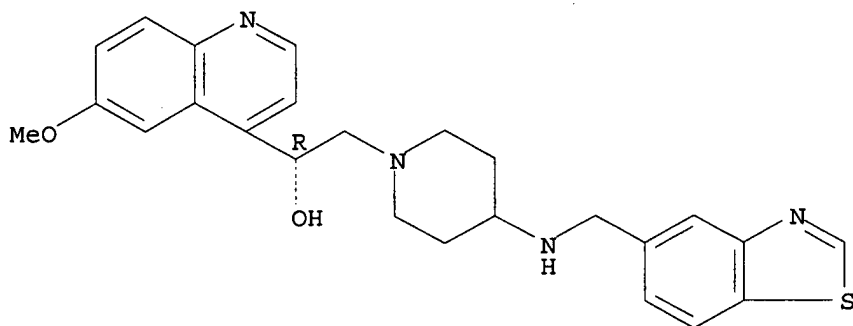
CMF C2 H2 O4



RN 394223-39-3 CAPLUS

CN 4-Quinolinemethanol, α -[[4-[(5-benzothiazolylmethyl)amino]-1-piperidinyl]methyl]-6-methoxy-, (α R)- (CA INDEX NAME)

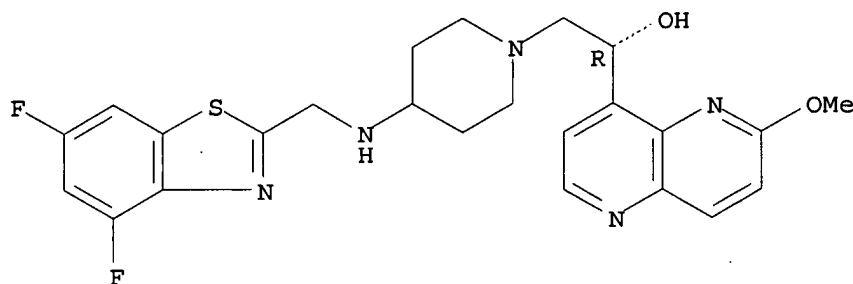
Absolute stereochemistry.



RN 394223-85-9 CAPLUS

CN 1,5-Naphthyridine-4-methanol, α-[[4-[[[(4,6-difluoro-2-benzothiazolyl)methyl]amino]-1-piperidinyl]methyl]-6-methoxy-, (αR)-
(CA INDEX NAME)

Absolute stereochemistry.

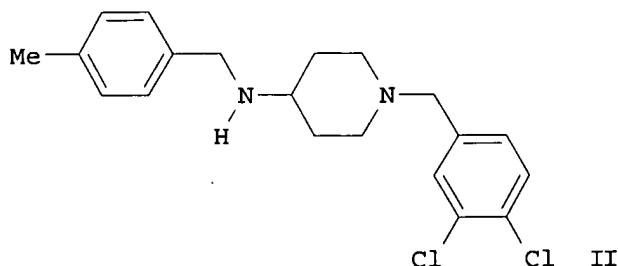
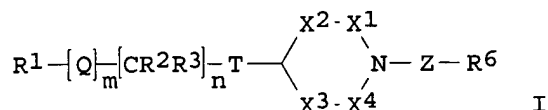


L44 ANSWER 22 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN

2001:152644 Document No. 134:207822 Preparation of substituted piperidines as modulators of chemokine receptor activity. Thom, Stephen; Baxter, Andrew; Kindon, Nicholas; McInally, Thomas; Springthorpe, Brian; Perry, Matthew; Harden, David; Evans, Richard; Marriott, David (Astrazeneca UK Limited, UK). PCT Int. Appl. WO 2001014333 A1 20010301, 133 pp.

DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 2000-GB3179 20000818. PRIORITY: SE 1999-2987 19990824.

GI



AB The title compds. [I; Z = CR⁴R⁵, CO, CR⁴R⁵Z¹; Z¹ = alkylene, alkenylene, CONH; R¹ = (un)substituted alkyl, alkenyl, 3-14 membered (un)saturated ring system which optionally further comprises up to two ring carbon atoms that form carbonyl groups and which optionally further comprises up to 4 ring heteroatoms selected from N, O, and S; m = 0-1; Q = O, S, CO, etc.; n = 0-6 (when n = 0, then m = 0); R², R³ = H, alkyl; (CR²R³)_n = cycloalkyl optionally substituted by alkyl; T = NR¹⁰, CONR¹⁰, NR¹¹CONR¹⁰, etc.; X¹-X⁴ = CH₂, CHR¹² (wherein R¹² = alkyl, cycloalkyl(alkyl), CO, etc.); R⁴, R⁵ = H, alkyl; R⁶ = (un)substituted aryl, heterocyclyl; R¹⁰-R¹¹ = H, alkyl, haloalkyl, etc.] and their pharmaceutically acceptable salts, useful in therapy, especially for the treatment of chemokine receptor related diseases (such as inflammatory disease) and conditions, were prepared E.g., a 3-step synthesis of the piperidine II was given. The exemplified compds. I were found to be antagonists of the eotaxin mediated [Ca²⁺]_i in human eosinophils and/or antagonists of the MIP-1 α mediated [Ca²⁺]_i in human monocytes (no data). Certain compds. I were found to be antagonists of the eotaxin mediated human eosinophil chemotaxis (no data).

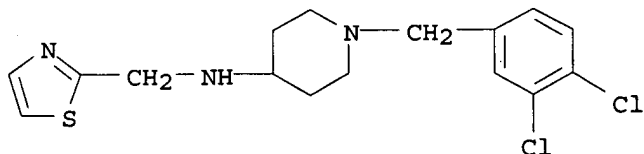
IT 328082-17-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted piperidines as modulators of chemokine receptor activity)

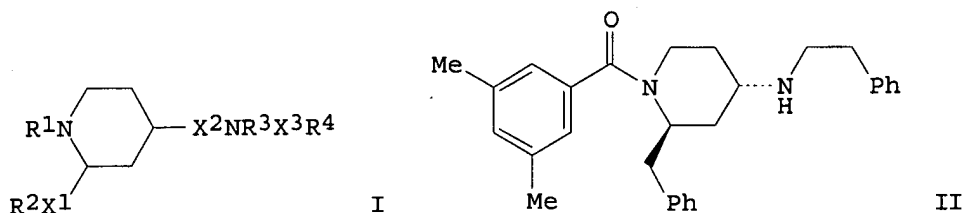
RN 328082-17-3 CAPLUS

CN 4-Piperidinamine, 1-[(3,4-dichlorophenyl)methyl]-N-(2-thiazolylmethyl)- (CA INDEX NAME)



derivatives and their use as substance P antagonists. Schilling, Walter; Ofner, Silvio; Veenstra, Siem J. (Ciba-Geigy A.-G., Switz.). Eur. Pat. Appl. EP 532456 A1 19930317, 108 pp. DESIGNATED STATES: R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE. (German). CODEN: EPXXDW. APPLICATION: EP 1992-810594 19920804. PRIORITY: CH 1991-2374 19910812.

GI



AB Title compds. [I; R1 = (substituted) aralkyl, aryloxyalkyl, aroyl, arylcarbamoyl, heteroaroyl, cycloalkylcarbonyl, aralkanoyl, aralkoxycarbonyl, α -araminoacid ocyl residue, etc.; R2 = cycloalkyl, (substituted) (hetero)aryl; R3 = H, alkyl carbamoyl, (substituted) alkanoyl, alkenoyl; (R4 = (substituted) aryl, (partially hydrogenated) heteroaryl; X1 = (H2, CH2CH2, bond, (ketalized) CO, (etherified) HOCH; X2 = alkylene, CO, bond; X3 = CO, oxoalkylene, oxoazaalkylene, hydroxyalkylene, etc.], were prepared Thus, Et (R)-3-amino-4-phenylbutyrate was converted to (2R, 4RS)-2-benzyl-1-(3,5-dimethylbenzoyl)-4-piperidineamine in several steps and the latter was stirred with PhCH2CHO, NaOAc, HOAc, and NaBH3CN in MeOH to give title compound II and its diastereomer. I inhibited substance P-induced blood vessel dilation in guinea pig ears beginning at 0.01 mg/kg i.v.

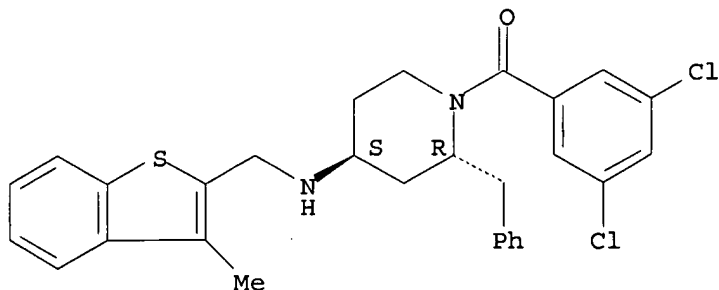
IT 150708-13-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as substance P antagonist)

RN 150708-13-7 CAPLUS

CN 4-Piperidinamine, 1-(3,5-dichlorobenzoyl)-N-[(3-methylbenzo[b]thien-2-yl)methyl]-2-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

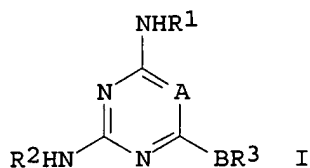
Relative stereochemistry.



L44 ANSWER 28 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN

1992:400899 Document No. 117:899 Use of triazine and pyrimidine derivatives to decrease resistance to anticancer and antimalarial agents. Paramelle, Bernard; Leverve, Xavier; Regnier, Gilbert; Dhainaut, Alain; Atassi, Ghanem; Pierre, Alain (Adir et Cie., Fr.). Eur. Pat. Appl. EP 478416 A1 19920401, 18 pp. DESIGNATED STATES: R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE. (French). CODEN: EPXXDW. APPLICATION: EP 1991-402472 19910918. PRIORITY: FR 1990-11887 19900927.

GI



AB Triazine and pyrimidine derivs. [I; A = CH, N; R¹, R² = C3-5 hydrocarbyl; B = NR⁴(CH₂)_mNR⁴; R³=(halo)diphenylmethyl, PhCH:CHCH₂, etc.; R⁴ = H, C1-5 alkyl; m = 2-6) and their acid addition salts are used in pharmaceuticals for decreasing drug resistance to anticancer and antimalarial drugs. Thus, 1-(4,6-bisallylamino-1,3,5-triazin-2-yl)-4-(p-fluorobenzhydryl)piperazine methanesulfonate, at 20 μM, decreased murine leukemia cell line resistance to adriamycin, 144 times. A capsule contained I 200, maize starch 50, lactose 100, and talc 30mg.

IT 88535-84-6

RL: BIOL (Biological study)

(pharmaceutical composition containing, for decrease of anticancer and antimalarial drug resistance)

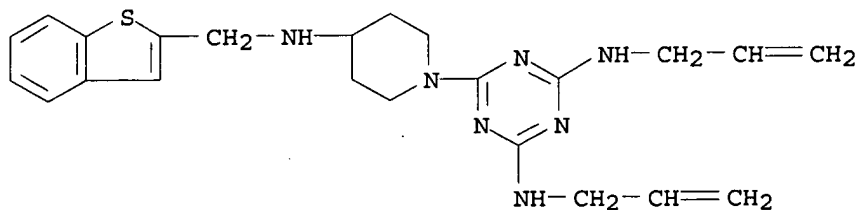
RN 88535-84-6 CAPLUS

CN 1,3,5-Triazine-2,4-diamine, 6-[4-[(benzo[b]thien-2-ylmethyl)amino]-1-piperidinyl]-N,N'-di-2-propenyl-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 88535-83-5

CMF C23 H29 N7 S

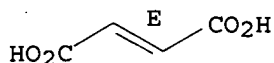


CM 2

CRN 110-17-8

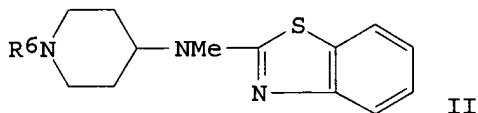
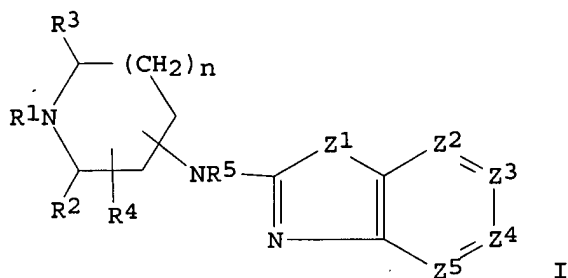
CMF C4 H4 O4

Double bond geometry as shown.



Document No. 106:50191 Benzoxazol- and benzothiazolamine derivatives. Stokbroekx, Raymond Antoine; Luyckx, Marcel Gerebernus Maria; Janssens, Frans Eduard (Janssen Pharmaceutica N. V., Belg.). Eur. Pat. Appl. EP 184257 A1 19860611, 82 pp. DESIGNATED STATES: R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE. (English). CODEN: EPXXDW. APPLICATION: EP 1985-201937 19851122. PRIORITY: US 1984-677412 19841203.

GI



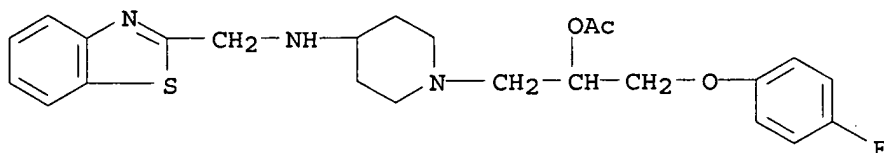
AB Title compds. I (R1 = alkyl, arylalkenyl, cycloalkyl, substituted alkyl, cycloalkyl, hydroxyalkyl, alkylcarbonyloxyalkyl; R2 = R3 = H, or R2R3 = CH2CH2; R4 = H, alkyl, OH, alkoxy, CF3; R5 = H, alkyl, arylalkyl; n = 0,1; Z1 = O,S; Z2 = Z3 = Z4 = Z5 = CH, or one of Z2, Z3, Z4, and Z5 is N and the others are CH) were prepared, and they exhibited antianoxic activity. II (R6 = H) (preparation given) was treated with 3-ClC6H4O(CH2)4Cl and Na2CO3 in DMF to give II [R6 = 3-ClC6H4O(CH2)4] (III). III had an ED50 of 5 mg/kg s.c. in male rats for preventing death due to KCN-induced histotoxic anoxia. Capsules were formulated containing I 20, Na lauryl sulfate 6, starch 56, lactose 56, colloidal SiO2 0.8, and Mg stearate 1.2 mg.

IT 106185-76-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as anti-anoxic agent)

RN 106185-76-6 CAPLUS

CN 1-Piperidineethanol, 4-[(2-benzothiazolylmethyl)amino]-α-[(4-fluorophenoxy)methyl]-, acetate (ester) (9CI) (CA INDEX NAME)



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